W

PAICNT COOPERATION TREATS

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

Commissioner
US Department of Commerce
United States Patent and Trademark
Office, PCT
2011 South Clark Place Room
CP2/5C24

Arlington, VA 22202 ETATS-UNIS D'AMERIQUE

Date of mailing: 07 December 2000 (07.12.00)	in its capacity as elected Office		
International application No.:	Applicant's or agent's file reference:		
PCT/US00/08745	F136122		
International filing date:	Priority date:		
21 April 2000 (21.04.00)	02 June 1999 (02.06.99)		
Applicant: GUPTA, Sandeep et al			
· · ·			

1.	The designated Office is hereby notified of its election made:	
	X in the demand filed with the International preliminary Examining Authority on: 28 August 2000 (28.08.00)	
	in a notice effecting later election filed with the International Bureau on:	
2.	The election X was	
	was not	
	made before the expiration of 19 months from the priority date or, where Rule 32 appl Rule 32.2(b).	ies, within the time limit under
		·

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland

Authorized officer:

J. Zahra

Telephone No.: (41-22) 338.83.38

Facsimile No.: (41-22) 740.14.35

INTERNATIONAL SEARCH REPORT

enternational application No. PCT/US00/08745

	A. CLASSIFICATION OF SUBJECT MATTER IPC(7) ::C07D 239/22, 265/28, 279/22, 471/12; A01N 43/54, 43/84, 43/60				
US CL	• • • • • • • • • • • • • • • • • • • •				
		national classification and IPC			
	DS SEARCHED ocumentation system follower	d by classification symbols)			
Ţ	544/101, 58.2, 346, 309; 504/243, 225, 222, 235	a by classification symbols,	`		
0.3	344/101, 36.2, 340, 307, 304/243, 223, 222, 233		` .		
Documentat	tion searched other than minimum documentation to the	extent that such documents are included	in the fields searched		
NONE					
Electronic d	lata base consulted during the international search (na	ame of data base and, where practicable,	search terms used)		
CAPLUS	S, EAST				
C. DOC	UMENTS CONSIDERED TO BE RELEVANT				
Category*	Citation of document, with indication, where ap	propriate, of the relevant passages	Relevant to claim No.		
х	EP 0 406 993 A2 (SCHERING AK January 1992, entire document.	TIENGESELLSCHAFT) 09	1, 3, 4, 7-11		
х	US 5,364,856 A (BOOHER et al) 15 and 2.	November 1994, columns 1	1 and 3		
х	KOST, A.N. Nitration of Lilolidene January 1966, Vol. 1, pages 39-45, es	- 1	1 and 3		
			:		
		·			
Furth	er documents are listed in the continuation of Box C	. See patent family annex.			
•	ecial categories of cited documents:	"T" later document published after the int date and not in conflict with the app			
	cument defining the general state of the art which is not considered be of particular relevance	the principle or theory underlying the	invention		
i	lier document published on or after the international filing date	"X" document of particular relevance; the considered novel or cannot be considered.			
cite	cument which may throw doubts on priority claim(s) or which is ad to establish the publication date of another citation or other	"Y" document of particular relevance; th	e claimed invention council be		
O do	cument referring to an oral disclosure, use, exhibition or other	considered to involve an inventive combined with one or more other suc	step when the document is h documents, such combination		
P doe	ens cument published prior to the international filing date but later than priority date claimed	*& document member of the same patern			
Date of the actual completion of the international search Date of mailing of the international search report					
13 JUNE	13 JUL 2000 31 JUL 2000				
Name and n	nailing address of the ISA/US	Authorized officer	/		
Box PCT	ner of Patents and Trademarks	Authorized officer MUKUND SHAH Southe	a haurence		
_	o. (703) 305-3230	Telephone No. (703) 308-1235	100		

INTERNATIONAL SEARCH REPORT

International application No. PCT/US00/08745

Box I	Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)
This inte	mational report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1.	Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
2.	Claims Nos.: because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3.	Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box II	Observations where unity of invention is lacking (Continuation of item 2 of first sheet)
This Inte	emational Searching Authority found multiple inventions in this international application, as follows:
P	ease See Extra Sheet.
1. X	As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2.	As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.	As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4.	No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark	on Protest The additional search fees were accompanied by the applicant's protest.
	X No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No. PCT/US00/08745

BOX II. OBSERVATIONS WHERE UNITY OF INVENTION WAS LACKING This ISA found multiple inventions as follows:

Group I. Claims 1-12, drawn to the compounds, composition, and methods of use of formula I wherein the core contains 1,4-oxazine as one of the cyclos shown in claim 2 as I-1.

Group II, Claims 1-12, drawn to the compound of formula I, composition, and methods of use wherein the core contains 1,4-thiazine as one of the cyclos shown in claim 2 as 1-2.

Group III, Claims 1-12, drawn to the compounds of formula I, composition and methods of use wherein the core contains six membered ring with one or two nitrogens and five membered hetero rings as one of the cyclos shown in claim 2 as I-3 through I-11.

Group IV. Claims 1, 3-12, drawn to the compounds of formula 1, composition, and methods of use wherein the compounds are other than these defined in Groups I-III.

The inventions listed as Groups do not relate to a single inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: Groups I-IV are directed to structurally dissimilar compounds such that the variable core created by varying the definitions of the formula do not belong to a recognized class of chemical compounds in the art, i.e. tricyclic ring with 1,4-oxazine as one of its cyclos, tricyclic ring with 1,4-oxazine as one of its cyclos, and tricyclic ring with 1,4 diazine, pyridine, and five membered ring as one of its cyclos. References anticipating one invention would not render obvious the others. Thus, separate searches in the literature as well as in the U.S. Patent Clarification System would be required. The compounds also differ significantly in chemical structures. One skilled in the art would not consider such diverse structures as functional equivalents of each other. The mere fact that there is a single similarity is not in itself a significant reason to render the whole embodiment obvious.



PCT

REC'D 2 6 OCT 2001

INTERNATIONAL PRELIMINARY EXAMINATION REPORT.

2CT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference F136122	FOR FURTHER ACTION	RACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)		
International application No.	International filing date (day/mor	tth/year) Priority date (day/month/year)		
PCT/US00/08745 International Patent Classification (IPC)	21 April 2000 (21.04.2000) or national classification and IPC	02 June 1999 (02.06.1999)		
IPC(7): C07D 239/22, 265/28, 279/22, 504/243,225,222,235		and US CL: 544/101, 58.2, 346,309:		
Applicant				
ISHIHARA SANGYO KAISHA, LTD.				
This international prelimi Examining Authority and	inary examination report has bed is transmitted to the applicant a	n prepared by this International Preliminary according to Article 36.		
2. This REPORT consists o	f a total of $\underline{\mathcal{L}}$ sheets, including	this cover sheet.		
which have been ar	pended and are the basis for this	, sheets of the description, claims and/or drawings report and/or sheets containing rectifications made 07 of the Administrative Instructions under the PCT).		
These annexes consist of	a total of O sheets.			
3. This report contains indic	cations relating to the following	items:		
I Basis of the re	port			
II Priority	II Priority			
III Non-establishr	nent of report with regard to no	velty, inventive step and industrial applicability		
IV \(\sum_{\text{Lack of unity}} \)	of invention			
V Reasoned state applicability;	V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement			
VII Certain defects in the international application				
VIII Certain observations on the international application				
Date of submission of the demand	Dat	e of completion of this report		
28 August 2000 (28.08.2000)	24.5	eptember 2001 (24.09.2001)		
Name and mailing address of the IPEA Commissioner of Patents and Traden Box PCT		Duttee Sausence for		
Washington, D.C. 20231 Facsimile No. (703) 305-3230 Telephone No. (703) 358-1235				

Form PCT/IPEA/409 (cover sheet)(July 1998)



Internation	ar appli	cation	No

PCT/US00/08745

Į.	Basi	s of the report
1.	With	regard to the elements of the international application:*
	\boxtimes	the international application as originally filed.
		the description:
		pages 1-30 as originally filed
		pages NONE, filed with the demand pages NONE, filed with the letter of
	~ 3	. •
	\boxtimes	the claims:
		pages 31-38 , as originally filed pages NONE , as amended (together with any statement) under Article 19
		pages NONE , filed with the letter of
	\boxtimes	the drawings:
	لابكا	pages NONE, as originally filed
		pages NONE, as originally filed pages NONE, filed with the demand
		pages NONE , filed with the letter of
	\boxtimes	the sequence listing part of the description:
		pages NONE , as originally filed pages NONE , filed with the demand
		pages NONE , filed with the demand pages NONE , filed with the letter of
2	337:-	h regard to the language, all the elements marked above were available or furnished to this Authority in the
۷.	lane	uage in which the international application was filed, unless otherwise indicated under this item.
	The	se elements were available or furnished to this Authority in the following language which is:
		the language of a translation furnished for the purposes of international search (under Rule23.1(b)).
		the language of publication of the international application (under Rule 48.3(b)).
	-	the language of the translation furnished for the purposes of international preliminary examination (under Rules
	ш	55.2 and/or 55.3).
3.	Wit	h regard to any nucleotide and/or amino acid sequence disclosed in the international application, the
	inte	rnational preliminary examination was carried out on the basis of the sequence listing:
		contained in the international application in printed form.
		filed together with the international application in computer readable form.
		furnished subsequently to this Authority in written form.
		furnished subsequently to this Authority in computer readable form.
		The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the
		international application as filed has been furnished.
		The statement that the information recorded in computer readable form is identical to the written sequence listing
	_	has been furnished
4	. 🖂	The amendments have resulted in the cancellation of:
	L	
		the description, pages NONE
		the claims, Nos. NONE
		the drawings, sheets/fig NONE
5	. L	This report has been established as if (some of) the amendments had not been made, since they have been considered to go
		beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**
	hir ra	lacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to its port as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).
"	* Any	replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.
i	•	

Form PCT/IPEA/409 (Box I) (July 1998)



INTERNATIONAL PRELIMINARY EXAMINATION REPORT

ī	tem	ationa	apli	lic	ation	ı No

PCT/US00/08745

1. In response to the invitation to restrict or pay additional fees the applicant has: restricted the claims. paid additional fees. paid additional fees under protest. neither restricted nor paid additional fees. This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees. This Authority considers that the requirement of unity of invention is accordance with Rules 13.1, 13.2 and 13.3 is complied with. and complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report: all parts. the parts relating to claims Nos. the parts relating to claims Nos.	IV.	Lack	of unity of invention	
paid additional fees under protest. paid additional fees under protest. paid additional fees. neither restricted nor paid additional fees. paid e.g. 1, not to invite the applicant to restrict or pay additional fees. This Authority considers that the requirement of unity of invention is accordance with Rules 13.1, 13.2 and 13.3 is complied with. not complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:	1.	In respo		
neither restricted nor paid additional fees. This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees. This Authority considers that the requirement of unity of invention is accordance with Rules 13.1, 13.2 and 13.3 is complied with. not complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:		\boxtimes	paid additional fees.	
This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees. This Authority considers that the requirement of unity of invention is accordance with Rules 13.1, 13.2 and 13.3 is complied with. not complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:			paid additional fees under protest.	
Rule 68.1, not to invite the applicant to restrict or pay additional tees. 3. This Authority considers that the requirement of unity of invention is accordance with Rules 13.1, 13.2 and 13.3 is complied with. not complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:			neither restricted nor paid additional fees.	
complied with not complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report: □ all parts.			Rule 68.1, not to invite the applicant to restrict or pay additional fees.	
not complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report: All parts.	3.	This A	Authority considers that the requirement of unity of invention is accordance with Rules 13.1, 13.2 and 13.3 is	
not complied with for the following reasons: Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report: All parts.			complied with.	
Please See Continuation Sheet 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:		\boxtimes		
 4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report: All parts. 	ъ.	<u></u>		l
examination in establishing this report:	Ple	ease See	Continuation Silect	
examination in establishing this report:				
examination in establishing this report:				١
examination in establishing this report:				ĺ
examination in establishing this report:				
examination in establishing this report:				١
examination in establishing this report:				١
examination in establishing this report:				l
examination in establishing this report:	ļ			١
examination in establishing this report:				
examination in establishing this report:				
examination in establishing this report:				
examination in establishing this report:				
examination in establishing this report:				
examination in establishing this report:				
examination in establishing this report:				
examination in establishing this report:				
	4	l. Cons	sequently, the following parts of the international application were the subject of international preliminary nination in establishing this report:	
		abla	all parts	
LII the parts relating to claims 1703.			-	
		لــا	the parts relating to claims 1700.	

Form PCT/IPEA/409 (Box IV) (July1998)



International application No. PCT/US00/08745

V. Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement					
1. STATEMENT			· · · · · · · · · · · · · · · · · · ·		
Novelty (N)	Claims	2, 3, 5, 6	YES		
Novelly (N)		1, 3, 4, 7-11			
			,		
Inventive Step (IS)	Claims	2, 3, 5, 6	YES		
	Claims	1, 3, 4, 7-11	NO		
			1120		
Industrial Applicability (IA)		1-12	YES		
	Claims	NONE	NO		
Claims 1, 3, 4, 7-11 lack an inventive step under PCT (EP 0406993). The reference teaches a generic group particular, wherein W is a group of formulae W1-W4 art would have been motivated to select the claimed c been suggested by the reference as a whole. Claims 1 and 3 lack novelty under PCT Article 33(2) the compounds (See the compounds made). Claims 1 and 3 lack an inventive step under PCT Articaches a generic group of rahydrobenz[cd]indole deror an aromatic 5- or 6-membered heterocyclic ring. Compounds from the genus in the reference since such Claims 2, 5 and 6 meet the criteria set out in PCT Articalmed invention. ———————————————————————————————————	of benzoxaz, A is -CH2-ompounds from as being anticle 33(3) as ivatives (See one of ordinanticle 33(2) are title 33(2) are	olinon and benzoxazinon derivatives (See form CH2-CH2-, V is H, fluoro, or chloro. One of orm the genus in the reference since such comparing obvious over BOOHER et al. (US 5,364,856). The being obvious over BOOHER et al. (US 5,364,856) are solved to the solve being obvious over BOOHER et al. (US 5,364,856) are solved to the solved to t	nula I, page 3), in ordinary skill in the bounds would have the reference teaches 4,856). The reference is a tetrazolyl ring to select the claimed as a whole.		

Form PCT/IPEA/409 (Box V) (July 1998)



INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US00/08745

Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

This Authority considers that the requirement of unity of invention is accordance with Rules 13.1, 13.2 and 13.3 is:

Group I, Claims 1-12, drawn to the compounds, composition, and methods of use of formula I wherein the core contains 1,4-oxazine as one of the cyclos shown in claim 2 as 1-1.

Group II, Claims 1-12, drawn to the compound of formula I, composition, and methods of use wherein the core contains 1,4-thiazine as one of the cyclos shown in claim 2 as 1-2.

Group III, Claims 1-12, drawn to the compounds of formula I, composition and methods of use wherein the core contains six membered ring with one or two nitrogens and five membered heterorings as one of the cyclos shown in claim 2 as 1-3 through 1-11.

Group IV. Claims 1, 3-12, drawn to the compounds of formula I, composition, and methods of use wherein the compounds are other than these defined in Groups I-III.

The inventions listed as Groups do not relate to a single inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: Groups I-IV are directed to structurally dissimilar compounds such that the variable core created by varying the definitions of the formula do not belong to a recognized class of chemical compounds in the art, i.e. tricyclic ring with 1,4oxazine as one of its cyclos, tricyclic ring with 1,4-oxazine as one of its cyclos, and tricyclic ring with 1,4 diazine, pyridine, and five membered ring as one of its cyclos. References anticipating one invention would not render obvious the others. Thus, separate searches in the literature as well as in the U.S. Patent Clarification System would be required. The compounds also differ significantly in chemical structures. One skilled in the art would not consider such diverse structures as functional equivalents of each other. The mere fact that there is a single similarity is not in itself a significant reason to render the whole embodiment obvious.